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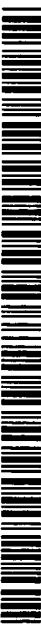


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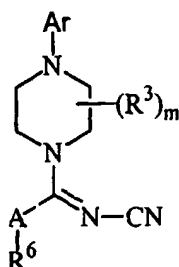
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60/416,582 8 October 2002 (08.10.2002) US
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(54) Title: THERAPEUTIC PIPERAZINE DERIVATIVES USEFUL FOR TREATING PAIN



(I)

(57) Abstract: A compound of formula (I): wherein A, Ar, R³, R⁶, and m are disclosed herein, or a pharmaceutically acceptable salt thereof (a "Cyanoiminopiperazine Compound"), composition, comprising an effective amount of a Cyanoiminopiperazine Compound, and methods for treating or preventing pain, urinary incontinence, an ulcer, inflammatory-bowel disease, irritable-bowel syndrome, an addictive disorder, Parkinson's disease, parkinsonism, anxiety, epilepsy, stroke, a seizure, a pruritic condition, psychosis, a cognitive disorder, a memory deficit, restricted brain function, Rnfington's chorea, arsyotrophic lateral sclerosis, dementia, retinopathy, a muscle spasm, a migraine, vomiting, dyskinesia or depression in an animal comprising administering to an animal in need thereof an effective amount of a Cyanoiminopiperazine Compound are disclosed.

Atty Docket#: 6750-173
Serial #: 10/607,563
Reference: B11

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 03/20509

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D213/74 C07D213/85 C07D239/42 C07D237/20 C07D241/20
C07D285/06 A61K31/496 A61K31/4965 A61K31/501 A61K31/506
A61P29/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 02 16318 A (PACIFIC CORPORATION) 28 February 2002 (2002-02-28) page 45, line 5 - line 12; claims; example 139 ----	22,105, 120,135, 150,165, 180,210, 225
X	WO 01 95856 A (CHARCONNE NSI CO. LTD.) 20 December 2001 (2001-12-20) claim 1 ----	42-44,63
A	W. REID ET. AL.: "Synthese und Reaktionen neuer Thiazol- und Triazol-Abkömmlinge" CHEMISCHE BERICHTE, vol. 116, no. 4, 1983, XP002234184 page 1548, compounds 8c and 8d ---- -/-	1-236

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search

17 December 2003

Date of mailing of the international search report

23/01/2004

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Helps, I

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 03/20509

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	W. E. MEYER ET. AL. : "5-(1-Piperaziny1)-1H-1,2,4-triazol-3-amin es as Antihypertensive Agents" JOURNAL OF MEDICINAL CHEMISTRY, vol. 32, 1989, pages 593-7, XP001156844 page 593, compound 3 and Table 1 ----	1-236
A	K. MATSUNO ET. AL. : "Potent and Selective Inhibitors of PDGF Receptor Phosphorylation." JOURNAL OF MEDICINAL CHEMISTRY, vol. 45, 2002, pages 4513-23, XP001156845 page 4518; table 4 ----	1-236
A	EP 1 067 123 A (KYOWA HAKKO KOGYO CO. LTD.) 10 January 2001 (2001-01-10) page 30, line 1 - line 14; claims; examples ----	1-236
A	NL 7 804 136 A (FERMION OY) 27 April 1979 (1979-04-27) claims; examples ----	1-236
A	US 5 792 769 A (LU ET. AL.) 11 August 1998 (1998-08-11) column 24, line 6 - line 33; claims; examples -----	1-236

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 03/20509

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claims 117 to 206 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 03/20509

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